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APPLICATION NO.	FILING DATE	FIRST NAMED INVENTOR	ATTORNEY DOCKET NO.	CONFIRMATION NO.
10/579,734	05/18/2006	Seiji Bando	VX062737 PCT	3545
23400 7590 01/07/2009 POSZ LAW GROUP, PLC 12040 SOUTH LAKES DRIVE SUITE 101 RESTON, VA 20191				
EXAMINER PIHONAK, SARAH MAUREEN				
ART UNIT 4121		PAPER NUMBER		
MAIL DATE 01/07/2009		DELIVERY MODE PAPER		

**Please find below and/or attached an Office communication concerning this application or proceeding.**

The time period for reply, if any, is set in the attached communication.

### Office Action Summary

**Application No.**

10/579,734

**Applicant(s)**

BANDO ET AL.

**Examiner**

SARAH PIHONAK

**Art Unit**

4121

-- The MAILING DATE of this communication appears on the cover sheet with the correspondence address --  
**Period for Reply**

A SHORTENED STATUTORY PERIOD FOR REPLY IS SET TO EXPIRE 1 MONTH(S) OR THIRTY (30) DAYS, WHICHEVER IS LONGER, FROM THE MAILING DATE OF THIS COMMUNICATION.

- Extensions of time may be available under the provisions of 37 CFR 1.136(a). In no event, however, may a reply be timely filed after SIX (6) MONTHS from the mailing date of this communication.
- If NO period for reply is specified above, the maximum statutory period will apply and will expire SIX (6) MONTHS from the mailing date of this communication.
- Failure to reply within the set or extended period for reply will, by statute, cause the application to become ABANDONED (35 U.S.C. § 133). Any reply received by the Office later than three months after the mailing date of this communication, even if timely filed, may reduce any earned patent term adjustment. See 37 CFR 1.704(b).

**Status**

- 1) ☐ Responsive to communication(s) filed on \_\_\_\_.
- 2a) ☐ This action is **FINAL**. 2b) ☒ This action is non-final.
- 3) ☐ Since this application is in condition for allowance except for formal matters, prosecution as to the merits is closed in accordance with the practice under *Ex parte Quayle*, 1935 C.D. 11, 453 O.G. 213.

**Disposition of Claims**

- 4) ☒ Claim(s) 1-3 is/are pending in the application.
- 4a) Of the above claim(s) \_\_\_\_ is/are withdrawn from consideration.
- 5) ☐ Claim(s) \_\_\_\_ is/are allowed.
- 6) ☒ Claim(s) 1-3 is/are rejected.
- 7) ☐ Claim(s) \_\_\_\_ is/are objected to.
- 8) ☐ Claim(s) \_\_\_\_ are subject to restriction and/or election requirement.

**Application Papers**

- 9) ☐ The specification is objected to by the Examiner.
- 10) ☐ The drawing(s) filed on \_\_\_\_ is/are: a) ☐ accepted or b) ☐ objected to by the Examiner.  
Applicant may not request that any objection to the drawing(s) be held in abeyance. See 37 CFR 1.85(a).  
Replacement drawing sheet(s) including the correction is required if the drawing(s) is objected to. See 37 CFR 1.121(d).
- 11) ☐ The oath or declaration is objected to by the Examiner. Note the attached Office Action or form PTO-152.

**Priority under 35 U.S.C. § 119**

- 12) ☒ Acknowledgment is made of a claim for foreign priority under 35 U.S.C. § 119(a)-(d) or (f).
- a) ☒ All b) ☐ Some \* c) ☐ None of:
1. ☐ Certified copies of the priority documents have been received.
  2. ☐ Certified copies of the priority documents have been received in Application No. \_\_\_\_.
  3. ☒ Copies of the certified copies of the priority documents have been received in this National Stage application from the International Bureau (PCT Rule 17.2(a)).

\* See the attached detailed Office action for a list of the certified copies not received.

**Attachment(s)**

- 1) ☒ Notice of References Cited (PTO-892)
- 2) ☐ Notice of Draftsperson's Patent Drawing Review (PTO-946)
- 3) ☒ Information Disclosure Statement(s) (PTO/SF/CF)  
Paper No(s)/Mail Date 5/18/06, 6/3/08, 10/30/08
- 4) ☐ Interview Summary (PTO-413)  
Paper No(s)/Mail Date \_\_\_\_
- 5) ☐ Notice of Informal Patent Application
- 6) ☐ Other: \_\_\_\_

**DETAILED ACTION**

1. This application is a 371 (national stage application) of PCT/JP2004/018569.
2. Claims 1-3 are pending.

***Claim rejections – 35 USC § 102***

3. The following is a quotation of the appropriate paragraphs of 35 U.S.C. 102 that form the basis for the rejections under this section made in this Office action:

A person shall be entitled to a patent unless –

(b) the invention was patented or described in a printed publication in this or a foreign country or in public use or on sale in this country, more than one year prior to the date of application for patent in the United States.

4. Claims 1-3 are rejected under 35 U.S.C. 102(b) as being unpatentable over US 6,274,741.

In claim 1 of the instant application, a process for the production of 2-acylthiophene is recited, in which a thiophene compound is reacted with an acid anhydride or acid halide, in the presence of a solid acid catalyst at a reaction temperature of less than 75°C, without a solvent. Additionally, it is stated in claim 1 that the thiophene compound may be substituted with groups selected from a hydrogen atom, a C<sub>1-6</sub> alkyl, phenyl, or a halogen. The acid anhydride is also described as having a possible choice of substituents that consist of a C<sub>1-6</sub> alkyl, or a phenyl group, while the same is characteristic of the acid halide.

The components of this claim are anticipated, and are therefore rejected, under 102(b), in consideration of claims 1, 9, and 11 of US 6,274,741. In claim 1 of the '741 patent, a process for the acylation of thiophene is described, in which the thiophene compound is reacted with an acid anhydride, within the temperature range of 1-130°C, in the presence of an ion exchange clay. The possible substituents for the acid anhydride of '741 are within C2-C5 groups. Claim 9 of '741 further states that the reactants serve as self solvents, and claim 11 states that the resulting product from the process is the 2-acyl heteroaromatic compound. Moreover, it is stated in claim 4 of the '741 patent that the acylation of the heteroaromatic compounds occurs with greater than 99% selectivity at the 2- position, and claim 5 states that the product is devoid of the 3-acyl isomer, through the process claimed in the patent. It is also stated in the specification of the instant application that a 2-acylated compound that is virtually free of the 3- isomer is highly desirable, as the 2-isomer is often used for the synthesis of pharmaceuticals, and is difficult to separate from the 3-acylated isomer. The publication date of '741 occurred more than one year prior to the instant application of patent in the United States. All of the components of instant claim 1 are previously taught by '741, and are therefore rejected.

5. In claim 2, the solid acid catalyst utilized for the production of 2-acylthiophene is further specified as being selected from the groups consisting of activated clays, zeolites, and ion exchange resins. Claim 1 of the '741 patent states that the acid catalyst is a metal ion exchanged clay. As claim 2 is anticipated by claim 1 of '741, the rejection also applies.

6. In claim 3, the process of 2-acylthiophene production is further specified by the weight ratio of the acid catalyst to the thiophene compound, which is expressed as ranging from .1 to 50 parts by weight of catalyst per 100 parts by weight of the thiophene. In comparison, the '741 patent discloses, on page 4, column 5, under Examples 6-8, a weight ratio of acid clay catalyst to thiophene of 0.12 (0.5 g. catalyst and 50 mmol thiophene). Though the weight ratio requirement of catalyst to thiophene is not stated in the claims of '741, it is present in the experimental examples. As such, claim 3 of the instant application is rejected, as the components of the claim are anticipated by '741.

***Claim rejections – 35 USC § 103***

7. The following is a quotation of 35 U.S.C. 103(a) which forms the basis for all obviousness rejections set forth in this Office action:

(a) A patent may not be obtained though the invention is not identically disclosed or described as set forth in section 102 of this title, if the differences between the subject matter sought to be patented and the prior art are such that the subject matter as a whole would have been obvious at the time the invention was made to a person having ordinary skill in the art to which said subject matter pertains. Patentability shall not be negated by the manner in which the invention was made.

8. Claims 1 and 2 are rejected under 35 U.S.C. 103(a) as being unpatentable over PCT/US00/30205, which published as WO 01/32593, as evidenced by US 2,458,519.

In consideration of the '593, the invention claimed was selective acylation of an organic compound, by an acylating agent, in the presence of a zeolite. The possible zeolites that could be used are broad, and may be natural or synthetic, according to claim 2 of '593. Claim 12 of '593, on page 19, states that the organic compound is selected from olefins and aromatic heterocyclic compounds, and other additional possibilities. Thiophene is itself an aromatic heterocyclic compound. Claim 12 also further states that the organic compound may be substituted, while claim 17, on page 19, further specifies that the organic compound may be substituted with C<sub>1-10</sub> alkyl, or aryl, and other additional possibilities. Claim 22 of '593, on page 20, also states that the acylation occurs in the absence of a solvent, while claim 7 states that the acylating agent is selected from "the group consisting of the halides of aliphatic carboxylic acids and the anhydrides of carboxylic acids." Claims 12, 17, and 22 as such state an invention for the process of acylation of a heteroaromatic or other organic molecule, by an aliphatic carboxylic acid or an anhydride of a carboxylic acid, in the absence of solvent.

Instant claim 1 states that the thiophene may be substituted with hydrogen, a C<sub>1-6</sub> alkyl group, a phenyl group, or a halogen. While claim 17 shares with instant claim 1 that the substituent of thiophene may be C<sub>1-6</sub> alkyl, or aryl, halogen is not specifically mentioned. However, it would be obvious to one of ordinary skill in the art that a thiophene substituted with a halogen group would also be readily acylated according to the invention of '593. In US 2,458,519, column 4, line 21, first paragraph, it is stated, "thiophene derivatives having substituents of a highly electronegative character such as

carbonyl, ester, nitro and cyano groups and no activating substituent such as a hydroxyl or alkoxy group do not acylate readily. These groups, commonly referred to as meta-directing, possess a highly electronegative character which tends to inhibit the acylation reaction." Halogen substituents, while electronegative, have the ability to donate a pair of electrons towards the aromatic ring, and as such would readily permit acylation.

The acylating agent according to claim 7 of '593 states that the acylating agent for the selective acylation is selected from halides of aliphatic carboxylic acids and anhydrides of carboxylic acids. The acylating agent characteristic is also shared by the instant claim 1, in which the acid halide or anhydride may be substituted with a C<sub>1-6</sub> alkyl or phenyl group. On page 5, line 30 of the specification of '593 states, "the acylating agent may be selected from the group consisting of halides of carboxylic acids and the anhydrides of carboxylic acids. The carboxylic acids may be saturated or unsaturated, linear or branched aliphatic carboxylic acids or substituted or unsubstituted, saturated or unsaturated cycloaliphatic acids." The C<sub>1-6</sub> alkyl substituted acid halide would be included in the group of halides of aliphatic carboxylic acids, while the phenyl substituted acid anhydride would be included under the category of anhydrides of carboxylic acids of claim 7 of '593. It is obvious to one skilled in the art that the phenyl substituted acid halide would be derived from an unsaturated carboxylic acid.

The claims of '593 are silent as to the specific reactions temperature for the acylation reaction. However, examples are given in the specification of the reaction as to the temperatures at which some acylation reactions, on page 10, first paragraph, which states that " the reaction was also conducted at various temperatures, for

example at 70°C, 100°C, and 120°C ." The specification also states in the same paragraph, same page, that the yield and selectivity of 1-acetyl-2-methoxynaphthalene increases when the temperature was elevated from 70°C to 100°C for the reaction, but decreased at 120°C. However, the example does not state that the conditions were to be applied to the acylation of thiophene. It would be obvious to one skilled in the art that, given the lack of a specific claim as to the temperature of the acylation reaction for '593, the optimum reaction temperature may vary depending on the compound to be acylated, and a range of temperatures may apply. It would also not be unreasonable or unobvious that, as the reaction is carried out without an additional organic solvent (other than the reaction components themselves), a high reflux temperature would not be necessary, and the acylation could be conducted at temperatures less than 75°C.

While the claims of '593 do not specifically state that the thiophene compound produced would be 2-acylthiophene, it is obvious to one skilled in the art that the product of the acylation would be the 2-acylated thiophene. US 2,458,519 states on page 2, column 4, line 8, that "the 2- and 5- positions in the thiophene ring being adjacent to the sulfur atom are generally much more reactive than the 3- and 4- positions and in acylating thiophene the entering acyl group will preferably attach itself to the carbon atom adjacent to the sulfur." As such, the predominant product of thiophene acylation would be expected to be 2-acylthiophene. Additionally, claim 1 of '593 specifically states that the acylation of the organic compound would occur selectively according to the disclosed process.

As the components of the instant claim 1 are found to be obvious variants of the invention disclosed in '593, the claim is rejected for patentability.

9. Claim 2 of the instant application states that the process according to claim 1 is carried out in the presence of a solid acid catalyst, which is selected from zeolites, activated clays, and cation exchange resins. As claim 1 of '593 (page 18) states that the acylation reaction would occur in the presence of a zeolite, rejection of the claim according to 103(a) applies.

10. Receipt is acknowledged of papers submitted under 35 U.S.C. 119(a)-(d), specifically application 2003-419362, filed on 12/17/03 in Japan, which papers have been placed of record in the file.

11. The information disclosure statements (IDS) submitted on 5/18/06, 6/3/08, and 10/30/08 were filed. The submission is in compliance with the provisions of 37 CFR 1.97. Accordingly, the information disclosure statements are being considered by the examiner.

Any inquiry concerning this communication or earlier communications from the examiner should be directed to SARAH PIHONAK whose telephone number is (571)270-7710. The examiner can normally be reached on Monday-Thursday 7:00 AM - 5:30 PM EST.

If attempts to reach the examiner by telephone are unsuccessful, the examiner's supervisor, Patrick Nolan can be reached on (571)272-0847. The fax phone number for the organization where this application or proceeding is assigned is 571-273-8300.

Information regarding the status of an application may be obtained from the Patent Application Information Retrieval (PAIR) system. Status information for published applications may be obtained from either Private PAIR or Public PAIR. Status information for unpublished applications is available through Private PAIR only. For more information about the PAIR system, see <http://pair-direct.uspto.gov>. Should you have questions on access to the Private PAIR system, contact the Electronic Business Center (EBC) at 866-217-9197 (toll-free). If you would like assistance from a USPTO Customer Service Representative or access to the automated information system, call 800-786-9199 (IN USA OR CANADA) or 571-272-1000.

S.P.

/Patrick J. Nolan/  
Supervisory Patent Examiner, Art Unit 4121